Title (en)

Dihydrothienopyrimidines for the treatment of inflammatory diseases

Title (de)

Dihydrothienopyrimidine zur Behandlung von entzündlichen Erkrankungen

Title (fr)

Dihydrothienopyrimidines pour le traitement de maladies inflammatoires

Publication

EP 1847543 A1 20071024 (DE)

Application

EP 06112779 A 20060419

Priority

EP 06112779 A 20060419

Abstract (en)

Thienopyrimidine derivatives (I) are new. Thienopyrimidine derivatives (I) of formula 1 are new. X : SO or SO 2; R 1>H, 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, 6-10C aryl, (6-10C)aryl(1-6C)alkyl or (5-10C)heteroaryl(1-6C)alkyl; R 2>H; 1-10C alkyl, 2-6C alkenyl or 2-6C alkynyl optionally substituted with halo or Q1; or 3-10C cycloalkyl optionally bridged with 1-3C alkyl and optionally substituted with Q2; 6-10C aryl optionally substituted with Q3; 3-10C heterocyclyl or 5-10C heteroaryl optionally substituted with halo, OH, oxo or Q4; NR 1>R 2>a 4-7C ring optionally substituted with OH, OR 11>, 1-6C alkanol, oxo, halo, 1-6C alkyl, 6-10C aryl, COOR 21>, CONR 22>R 23>, CH 2NR 22>R 23> or NR 22>R 23>; Q1 : OR 21>, COOR 21>, SR 21>, 6-10C aryl, 3-10C heterocyclyl, 5-10C heteroaryl, 3-10C (bi)cycloalkyl, CH 2NR 22>R 23> or NR 22>R 23>, optionally substituted with OH, halo, OR 21>, oxo, 1-6C alkyl, 6-10C aryl, COOR 21>, CH 2NR 22>R 23> or NR 22>R 23>; Q2 : 1-6C alkanol, OR 21>, COOR 21>, 3-10C heterocyclyl, 6-10C aryl, 1-6C alkyl, (6-10C)aryl(1-6C)alkyl, (5-10C)heteroaryl(1-6C)alkyl, 3-10C (bi)cycloalkyl and NR 22>R 23>, optionally substituted with OH, halo, OR 21>, oxo, 1-6C alkyl, 6-10C aryl, CH 2NR 22>R 23>; Q3 : OR 21>, COOR 21>, NR 22>R 23>, CH 2NR 22>R 23>, 3-10C cycloalkyl, 3-10C heterocyclyl, 1-6C alkyl, (6-10C)aryl(1-6C)alkyl, (3-10C)heterocyclyl(1-6C)alkyl, (5-10C)heteroaryl(1-6C)alkyl, 6-10C aryl, SO 2Me, SO 2Et and SO 2NR 22>R 23>, optionally substituted with OH, halo, OR 21>, oxo, 1-6C alkyl, 6-10C aryl, NR 22>R 23>; Q4 : OR 21>, COOR 21>, SR 21>, 6-10C aryl, 1-6C alkyl, 3-10C heterocyclyl, 5-10C heteroaryl, 3-10C cycloalkyl, 1-6C alkanol or NR 22>R 23>, optionally substituted with OH, halo, OR 21>, oxo, 1-6C alkyl, 6-10C aryl or NR 22>R 23>; R 21>1-6C alkyl, 3-10C (bi)cycloalkyl, (6-10C)aryl(1-6C)alkyl, (5-10C)heteroaryl(1-6C)alkyl, (3-10C)heterocyclyl(1-6C)alkyl, (3-10C)cycloalkyl(1-6C)alkyl, 6-10C aryl, 5-10C heteroaryl or heterocyclyl, optionally substituted with OH, halo, 1-6C alkyl or 6-10C aryl; R 22>, R 23>H, halo, 1-6C alkyl, 3-10C (bi)cycloalkyl, (6-10C)aryl(1-6C)alkyl, (5-10C)heteroaryl(1-6C)alkyl, 6-10C aryl, 3-10C heterocyclyl, 5-10C heteroaryl, CONH 2, CONHMe, CONMe 2, 1-2C alkylsulfonyl, COR 21> or COOR 21>, optionally substituted with OH, halo, OR 21>, oxo, 1-6C alkyl, 6-10C aryl or NR 22>R 23>; R 3>halo or CN; (3-10C)heterocyclyl(1-6C)alkyl optionally substituted with OH, halo, oxo, 1-6C alkyl or 6-10C aryl; 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, 6-10C aryl; (6-10C)aryl(1-6C)alkyl, (5-10C)heteroaryl(1-6C)alkyl, 3-10C heterocyclyl or 3-10C cycloalkyl, optionally substituted with OH, halo, oxo, 1-6C alkyl; CONR 31>R 32>;, NR 33>CONR 34>; or SO 2NR 35>R 36>; R 31>, R 32>H or 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, 6-10C aryl, (6-10C)aryl(1-6C)alkyl, (5-10C)heteroaryl(1-6C)alkyl, (5-10C)heteroaryl(1-6C)alkynyl, (5-10C)heteroaryl(1-6C)alkenyl, 3-10C heterocyclyl, (3-10C)heterocyclyl(1-6C)alkyl or 5-10C heteroaryl, optionally substituted with OH, oxo, halo, 1-6C alkyl and 1-6C alkoxy; R 33>H or 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, 6-10C aryl, (6-10C)aryl(1-6C)alkyl, (5-10C)heteroaryl(1-6C)alkyl, 3-10C heterocyclyl or 5-10C heteroaryl, optionally substituted with OH, OR 21>, oxo, NH 2, NR 22>R 23>, halo, 1-6C alkyl or 6-10C aryl; R 34>H or 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, 1-6C alkanol, OR 21>, 2-7C alkanoyloxymethyl, NR 22>R 23>, CH 2NR 22>R 23>, 6-10C aryl, (6-10C)aryl(1-6C)alkyl, (5-10C)heteroaryl(1-6C)alkyl, 3-10C heterocyclyl or 5-10C heteroaryl, optionally substituted with OH, OR 21>, oxo, NH 2, NR 22>R 23>, halo, 1-6C alkyl or 6-10C aryl; R 35>, R 36>H, 1-6C alkyl or 6-10C aryl. Independent claims are also included for thienopyrimidine derivatives of formula 3-8. Y : H, Me or Et. [Image] [Image] ACTIVITY : Antiinflammatory; Antiarthritic; Dermatological; Ophthalmological; Cytostatic; Neuroprotective; Antiasthmatic; Antiulcer; Antidepressant; Antimanic; Tranquilizer; Neuroleptic; Nootropic; Antiparkinsonian; Cerebroprotective. No biological data given. MECHANISM OF ACTION : Phosphodiesterase PD4 inhibitor.

Abstract (de)

Die Erfindung betrifft neue Dihydrothienopyrimidine der Formel 1, sowie pharmakologisch verträgliche Salze, Diastereomere, Enantiomere, Racemate, Hydrate oder Solvate davon, die geeignet sind zur Behandlung von Atemwegs- oder gastrointestinalen Beschwerden oder Erkrankungen, entzündlichen Erkrankungen der Gelenke, der Haut oder der Augen, Erkrankungen des periphären oder zentralen Nervensystems oder Krebserkrankungen, sowie pharmazeutische Zusammensetzungen die diese Verbindungen beinhalten.

IPC 8 full level

A61K 31/519 (2006.01); **A61P 11/00** (2006.01); **C07D 495/04** (2006.01)

CPC (source: EP KR US)

A61K 31/519 (2013.01 - KR US); **A61K 31/5377** (2013.01 - US); **A61K 45/06** (2013.01 - US); **A61P 1/00** (2017.12 - EP); **A61P 1/04** (2017.12 - EP); **A61P 1/16** (2017.12 - EP); **A61P 9/00** (2017.12 - EP); **A61P 11/00** (2017.12 - EP); **A61P 11/06** (2017.12 - EP); **A61P 17/00** (2017.12 - EP); **A61P 19/02** (2017.12 - EP); **A61P 25/00** (2017.12 - EP); **A61P 25/02** (2017.12 - EP); **A61P 25/04** (2017.12 - EP); **A61P 25/16** (2017.12 - EP); **A61P 25/18** (2017.12 - EP); **A61P 25/22** (2017.12 - EP); **A61P 25/24** (2017.12 - EP); **A61P 25/28** (2017.12 - EP); **A61P 27/02** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 35/00** (2017.12 - EP); **A61P 37/06** (2017.12 - EP); **A61P 37/08** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 495/04** (2013.01 - EP KR US); **C07D 519/00** (2013.01 - EP US)

Citation (applicant)

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Citation (search report)

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Designated contracting state (EPC)
AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LI LT LU LV MC NL PL PT RO SE SI SK TR

Designated extension state (EPC)
AL BA HR MK YU

DOCDB simple family (publication)
EP 1847543 A1 20071024; AR 060516 A1 20080625; AU 2007239573 A1 20071025; BR PI0710459 A2 20110816; CA 2647243 A1 20071025; CN 101426505 A 20090506; CO 6140032 A2 20100319; EA 200802051 A1 20090428; EC SP088738 A 20081031; EP 2010185 A1 20090107; EP 2010185 B1 20120613; IL 194739 A0 20090803; JP 2010523467 A 20100715; JP 5214588 B2 20130619; KR 20090009885 A 20090123; MX 2008012915 A 20081015; NO 20083710 L 20081118; PE 20080319 A1 20080513; TW 200808811 A 20080216; US 2008096882 A1 20080424; US 2012108534 A1 20120503; US 2014005154 A1 20140102; US 8114878 B2 20120214; US 8604039 B2 20131210; US 8822474 B2 20140902; UY 30287 A1 20071130; WO 2007118793 A1 20071025; ZA 200807015 B 20100127

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EP 06112779 A 20060419; AR P070101646 A 20070418; AU 2007239573 A 20070403; BR PI0710459 A 20070403; CA 2647243 A 20070403; CN 200780014008 A 20070403; CO 08111120 A 20081017; EA 200802051 A 20070403; EC SP088738 A 20080915; EP 07727726 A 20070403; EP 2007053255 W 20070403; IL 19473908 A 20081022; JP 2009505831 A 20070403; KR 20087028318 A 20081119; MX 2008012915 A 20070403; NO 20083710 A 20080828; PE 2007000470 A 20070417; TW 96113678 A 20070418; US 201213343391 A 20120104; US 201314019019 A 20130905; US 73670307 A 20070418; UY 30287 A 20070416; ZA 200807015 A 20080814